

10/033,835

FILE 'CAPLUS' ENTERED AT 12:43:01 ON 26 SEP 2004

L2	32211 S E1-45
L3	20532 S NICOTINAMIDE
L4	1444 S PYRIDINECARBOXAMIDE
L5	72 S NICOTINIC AMIDE
L6	26577 S CYCLODEXTRIN
L7	80 S L6 AND (L2 OR L3 OR L4 OR L5)
L8	220520 S SOLUBILITY
L9	1 S SOLUBILATION
L10	8 S SOLUBILIZATION
L11	72326 S SOLUBILIZ?
L12	603030 S SOLUBLE
L13	24 S L7 AND (L8 OR L11 OR L12)

L13 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:499151 CAPLUS  
 TITLE: Formulation of caffeine nasal sprays and its enhanced permeation through rabbit nasal mucosa  
 AUTHOR(S): Noh, Eun Sun; Chun, In Koo  
 CORPORATE SOURCE: College of Pharmacy, Dongduk Women's University, Seoul, 136-714, S. Korea  
 SOURCE: Yakche Hakhoechi (2004), 34(2), 131-138  
 CODEN: YAHAE; ISSN: 0259-2347  
 PUBLISHER: Korean Society of Pharmaceutics  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Korean

AB This study was aimed to investigate the feasibility of nasal delivery of caffeine for the elimination of sleepiness. The effects of various vehicles, **solubilizers**, and enhancers on the permeation of caffeine through rabbit nasal mucosa was observed. The permeation study was carried out using a Franz-type permeation system at 37°C, and the amount of caffeine permeated through the rabbit nasal mucosa was determined by a validated HPLC. The apparent **soly.** and physicochem. stability of caffeine in various nasal formulations were determined. The effect of hydrotropes and modified **cyclodextrins** on the **soly.** of caffeine in water was determined by equilibrium **soly.** method. The **soly.** of caffeine in water was 29 mg/mL at 30°C. The addition of sodium benzoate and **nicotinamide** at 10% improved the **soly.** of caffeine (115 and 132 mg/mL, resp.) in aqueous solution. The flux of caffeine through the nasal mucosa from aqueous solution was  $2.1 \pm 0.26$  mg/cm<sup>2</sup>/h. The addition of sodium benzoate reduced its permeation ( $1.4 \pm 0.01$  mg/cm<sup>2</sup>/h), but sodium benzoate with 5% 2HP $\beta$ CD and 0.03% monoterpenes increased its permeation ( $2.4 \pm 0.04$  mg/cm<sup>2</sup>/h) markedly. The addition of **nicotinamide** also increased its permeation ( $2.5 \pm 0.36$  mg/cm<sup>2</sup>/h). As the concentration of caffeine in nasal formulation increased, the permeation flux increased linearly. Caffeine was stable physicochem. and enzymically in the nasal mucosa extract at 37°C. These results suggest that caffeine can be efficiently delivered nasally and the development of nasal formulation will be feasible.

L13 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:898322 CAPLUS  
 DOCUMENT NUMBER: 139:386366  
 TITLE: Puerarin injection and its preparation  
 INVENTOR(S): Zhang, Jianqiang; Zhang, Jianli; Wu, Yalu  
 PATENT ASSIGNEE(S): Sihuan Kebao Pharmaceutical Co., Ltd., Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1389211	A	20030108	CN 2002-126319	20020718
PRIORITY APPLN. INFO.:			CN 2002-126319	20020718

AB The injection is composed of puerarin, dissoln. adjuvant, antioxidant, and excipient. The ratio of puerarin to dissoln. adjuvant is 1:2-3.5. The dissoln. adjuvant is **nicotinamide**, **sol.** polyvinylpyrrolidone, and/or hydroxypropyl-beta-cyclodextrin. The antioxidant is N<sub>2</sub>, CO<sub>2</sub>, Na<sub>2</sub>SO<sub>3</sub>, Na<sub>2</sub>S<sub>2</sub>O<sub>5</sub>, Na<sub>2</sub>S<sub>2</sub>O<sub>3</sub>, or EDTA-Na<sub>2</sub>. The excipient is mannitol, lactose, sorbitol, or low mol. dextran.

L13 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:678498 CAPLUS  
 DOCUMENT NUMBER: 139:202506  
 TITLE: Pharmaceutical composition comprising riboflavin 5'-monophosphate and **solubilized** riboflavin  
 INVENTOR(S): Grobin, Adam; Hird, Geoffrey; Lambert, Bill; Onai, Katsumi; Pullen, Stuart  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2003162751      A1      20030828      US 2001-24877      20011219  
PRIORITY APPLN. INFO.:      US 2001-24877      20011219

AB In recognition of the need to facilitate the use of riboflavin as a pharmaceutical and addnl. to increase the efficacy and stability of water sol. forms of riboflavin (that may contain precipitated riboflavin or that are subject to photodegrdn.), the present invention provides solubilized riboflavin, methods for solubilizing riboflavin, kits comprising solubilized riboflavin and provides photostable compns. comprising riboflavin and derivs. A composition containing riboflavin 5'-phosphate sodium and sucrose was prepared

L13 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:678288 CAPLUS  
DOCUMENT NUMBER: 139:202459  
TITLE: Solubilized riboflavin  
INVENTOR(S): Hird, Geoffrey; Lambert, Bill  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003161871	A1	20030828	US 2001-24876	20011219
PRIORITY APPLN. INFO.:			US 2001-24876	20011219

AB To facilitate the use of riboflavin as a pharmaceutical and addnl. to increase the efficacy of water sol. forms of riboflavin (that may contain precipitated riboflavin), the present invention provides solubilized riboflavin, methods for solubilizing riboflavin and kits comprising solubilized riboflavin. A vial contained riboflavin 5'-phosphate sodium 419.2, sucrose 800.0, sodium hydroxide 23.64, hydrochloric acid, and water 7229 mg which was then lyophilized.

L13 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:586095 CAPLUS  
DOCUMENT NUMBER: 140:352858  
TITLE: Potential of enzyme mimics in biomimetic sensors: a modified-cyclodextrin as a dehydrogenase enzyme mimic  
AUTHOR(S): Katakay, Ritu; Morgan, Edward  
CORPORATE SOURCE: Department of Chemistry, University of Durham, Durham, DH1 3LE, UK  
SOURCE: Biosensors & Bioelectronics (2003), 18(11), 1407-1417  
CODEN: BBIOE4; ISSN: 0956-5663  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB This paper reports the application of a dehydrogenase enzyme mimic as a biomimetic sensor. The model compound investigated was a  $\beta$ -cyclodextrin ( $\beta$ -CD) derivative with a nicotinamide group attached to the secondary face of a  $\beta$ -CD (g). It was envisaged that the nicotinamide group would act as the electron transfer agent and that the cyclodextrin would provide a suitable hydrophobic cavity for the reaction to take place in. Ethanol, propranolol, dopamine and acetone were used as substrates in backgrounds of hydrophilic and hydrophobic anions. Electrochem. and fluorescence techniques were used to study the catalytic effects in solution. It was found that the size of the analyte and the hydrophobicity of the anion affected the catalytic activity of the dehydrogenase mimic. Catalytic effects were most enhanced with ethanol and dopamine in presence of larger and more strongly solvated anions,  $\text{SO}_4^{2-}$  and  $\text{H}_2\text{PO}_4^-$  which are excluded from the cavity. The mol. was also immobilized in a sol-gel matrix and investigated as a sol-gel electrochem. biomimetic sensor. Concentration dependence with increasing aliquots of ethanol was observed. These results indicated that a re-usable biomimetic sensor is indeed feasible.

REFERENCE COUNT: 68      THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:492700 CAPLUS  
DOCUMENT NUMBER: 139:41867  
TITLE: Aqueous compositions containing metronidazole  
INVENTOR(S): Chang, Yunik; Dow, Gordon J.

PATENT ASSIGNEE(S): Dow Pharmaceutical Sciences, USA  
 SOURCE: U.S. Pat. Appl. Publ., 8 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119783	A1	20030626	US 2001-33835	20011224
WO 2003057135	A2	20030717	WO 2002-US36063	20021107
WO 2003057135	A3	20031218		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-33835 A 20011224

AB An aqueous solution of metronidazole in which the concentration of metronidazole is >0.75% is disclosed. The solution contains a combination of **soly** .-enhancing agents, one of which is a **cyclodextrin** such as  $\beta$ - **cyclodextrin** and the second is a compound other than a **cyclodextrin**. Methods of manufacture and therapeutic use of the solution are disclosed. A gel contained methylparaben 0.15, propylparaben 0.05, phenoxethanol 0.7, edetate sodium 0.05, hydroxyethyl cellulose 1.25,  $\beta$ - **cyclodextrin** 0.5, niacinamide or niacin 1.0, and water qs to 100.00%.

L13 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:72162 CAPLUS  
 DOCUMENT NUMBER: 136:107569  
 TITLE: Gel compositions containing metronidazole and hydroxypropyl- $\beta$ - **cyclodextrin**  
 INVENTOR(S): Chang, Yunik; Dow, Gordon J.; Angel, Arturo  
 PATENT ASSIGNEE(S): Dow Pharmaceutical Sciences, USA  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006349	A1	20020124	WO 2001-US19644	20010619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6468989	B1	20021022	US 2000-615169	20000713
EP 1303541	A1	20030423	EP 2001-948497	20010619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515463	T2	20040527	JP 2002-512249	20010619
PRIORITY APPLN. INFO.:			US 2000-615169 A 20000713	
			WO 2001-US19644 W 20010619	

AB An aqueous solution of metronidazole in which the concentration of metronidazole is >0.75 is described. The solution contains the **soly**. enhancer hydroxypropyl- $\beta$ - **cyclodextrin** (I) and may addnl. contain **niacinamide**. Methods of manufacture and therapeutic use of the solution are disclosed. Thus, a stable 1.0% aqueous gel composition contained metronidazole 1.00, I 5.00, methylparaben 0.15, propylparaben 0.03, glycerin 5.00, hydroxyethyl cellulose 1.50, disodium edetate 0.05, and water qs to 100%.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:380370 CAPLUS  
 DOCUMENT NUMBER: 135:9995  
 TITLE: Pharmaceuticals containing sildenafil for treating male erectile dysfunction  
 INVENTOR(S): Vallabhaneni, Ramakrishna Rao  
 PATENT ASSIGNEE(S): Natco Pharma Ltd., India  
 SOURCE: PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001035926	A2	20010525	WO 2000-IN105	20001024
WO 2001035926	A3	20011227		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1237538	A2	20020911	EP 2000-990872	20001024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			IN 1999-MA1128	A 19991118
			WO 2000-IN105	W 20001024

AB The invention relates to a novel pharmaceutical composition containing sildenafil useful for nasal administration in the treatment of male erectile dysfunction due to a variety of causes. The composition is also effective in patients with erectile dysfunction due to spinal cord injury. The pharmaceutical composition is in the form of a solution or a colloidal dispersion in a vehicle filled into a specially designed dosing device for nasal administration. The invention also provides a method for preparing the composition containing sildenafil for nasal application for the treatment of male erectile dysfunction. Thus, a formulation contained sildenafil citrate 10.000, PEG-300 30.000, glycerol 20.000, and HCl 10.000% and water to 1.0 mL.

L13 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:457628 CAPLUS  
 DOCUMENT NUMBER: 131:204473  
 TITLE: Increased aqueous solubility of N-(7-oxododecanoyl)-L-alanyl-D-isoglutamine by coprecipitating with various pharmaceutical carriers  
 AUTHOR(S): Planinsek, Odon; Pisek, Robert; Kristl, Albin; Schmidt, Peter C.; Srcic, Stanko  
 CORPORATE SOURCE: Faculty of Pharmacy, University of Ljubljana, Ljubljana, 1000, Slovenia  
 SOURCE: Acta Pharmaceutica (Zagreb) (1999), 49(2), 89-98  
 CODEN: ACPHEE; ISSN: 1330-0075  
 PUBLISHER: Croatian Pharmaceutical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB N-(7-oxododecanoyl)-L-alanyl-D-isoglutamine, which is a modified N-acetylmuramyl-L-alanyl-D-isoglutamine (MDP, the smallest immunol. active glucopeptide's subunit of the bacterial cell wall), was chosen after immunorestitution tests for further preclin. testing. For the preparation of an appropriate parenteral formulation, the soly. of the compound has to be increased. For this purpose different phys. mixts. and solid dispersions prepared by solvent evaporation method with different carriers were investigated. The soly. of N-(7-oxododecanoyl)-L-alanyl-D-isoglutamine increased from 0.16 g L-1 to 27 g L-1 for the dispersion with nicotinamide, to 40 g L-1 for the dispersion with sodium salicylate and to 24 g L-1 for the complex with 2-hydroxypropyl- $\beta$ -cyclodextrin.

L13 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:172599 CAPLUS  
 DOCUMENT NUMBER: 130:213640  
 TITLE: New pharmaceutical compositions of meloxicam with improved solubility and bioavailability  
 INVENTOR(S): Struengmann, Andreas; Freudensprung, Brigitte;

PATENT ASSIGNEE(S): Klokckers, Karin  
 SOURCE: Hexal A.-G., Germany  
 PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909988	A1	19990304	WO 1998-EP5456	19980827
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301304	AA	19990304	CA 1998-2301304	19980827
AU 9894374	A1	19990316	AU 1998-94374	19980827
AU 750125	B2	20020711		
ZA 9807800	A	19990609	ZA 1998-7800	19980827
EP 1007049	A1	20000614	EP 1998-947467	19980827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9812018	A	20000926	BR 1998-12018	19980827
JP 2001513563	T2	20010904	JP 2000-507378	19980827
NZ 502990	A	20020201	NZ 1998-502990	19980827
US 6284269	B1	20010904	US 2000-486463	20000510
PRIORITY APPLN. INFO.:			EP 1997-114816	A 19970827
			WO 1998-EP5456	W 19980827

AB Pharmaceutical compns. containing enolic carboxamide type antiinflammatory agent meloxicam that exhibit improved wettability, aqueous soly., dissoln. behavior over a broad range of pH, and that are prepared by crystal structure modification of the drug through dry or wet mech. homogenization with two further components - one of them is selected from a group of oligo - and dissoln. improving, or alkalizing agent. The application of the formulations according to the present invention results in an improved bioavailability and effectiveness of meloxicam. Thus, 16 g hydroxypropyl  $\beta$ -cyclodextrin was mixed with 1.8 g of meloxicam and the mixture was then further co-milled for 3 h at 25° to reach desired metastable phys. state. A hydrogel formulation contained above powder 100.0, hydroxypropyl Me cellulose 21.0, propylene glycol 2500.0, PEG-7-glycerol conconate 300.0, iso-Pr alc. 500.0, and water 6385.0 mg.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:58864 CAPLUS  
 DOCUMENT NUMBER: 130:100701  
 TITLE: Soluble, gum-containing, coated chewable tablet  
 INVENTOR(S): Gergely, Gerhard; Gergely, Irmgard; Gergely, Thomas  
 PATENT ASSIGNEE(S): Austria  
 SOURCE: Eur. Pat. Appl., 11 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 890358	A1	19990113	EP 1997-111783	19970710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 9902137	A1	19990121	WO 1998-EP3306	19980603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2003206948	A1	20031106	US 2003-407134	20030407

## PRIORITY APPLN. INFO.:

EP 1997-111783 A 19970710  
 WO 1998-EP3306 A2 19980603  
 US 2000-479224 B1 20000107

AB Coated chewable pharmaceutical tablets are provided which dissolve and release their active ingredients over a period of several minutes, leaving no residue. These tablets are prepared by mixing powdered chewable components (e.g. polysaccharide gums, dried sugar syrups, sol. cellulose derivs.) with liquid syrups (e.g. sugar, sugar alc., or gelatin syrups) and fatty or waxy components (e.g. beeswax, triglyceride fats, solid paraffin, ozocerite) to form a crumbly mass which is cooled to <0°, ground, compressed into tablets at <10°, and coated. The tablets have a moisture content of .apprx.4-7%; the moisture is immobilized by cooling, becomes mobile on heating during compression, and provides the required softness on contacting the water-sol. ingredients by converting them to a highly viscous, thixotropic, chewable mass. Thus, tablets were prepared containing spray-dried gum arabic 16.50, glycerin 0.30, rice starch 7.80, dried glucose syrup 25.00, beeswax 0.95, hydrogenated coconut oil 5.60, liquid glucose syrup 35.95, aspartame 0.30, Maltrin M700 7.475, and salbutamol sulfate 0.125%.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:724416 CAPLUS

DOCUMENT NUMBER: 128:16342

TITLE: Increasing solubility of N-(7-oxododecanoyl)-L-alanyl-D-isoglutamine in water solutions

AUTHOR(S): Planinsek, O.; Srcic, S.; Kristl, A.

CORPORATE SOURCE: Faculty of Pharmacy, Univ. of Ljubljana, Ljubljana, 1000, Slovenia

SOURCE: Farmaceutski Vestnik (Ljubljana) (1997), 48(Pos. Stev.), 274-275

CODEN: FMVTAV; ISSN: 0014-8229

PUBLISHER: Slovensko Farmacevtsko Drustvo

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Using carriers nicotinamide, Na salicylate, 2-hydroxypropyl  $\beta$ -cyclodextrin (HPC) and lecithin, the water soly of N-(7-oxododecanoyl)-L-alanyl-D-isoglutamine (I) was increased. Results show a nonequil. state and they decrease after a certain time. However, the solubilities remain higher than soly. of pure I which can be attributed to disruption of the water structure. Complexes were formed in the case of Na salicylate, nicotinamide, and HPC, and vesicles were formed in the case of lecithin.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:244371 CAPLUS

DOCUMENT NUMBER: 126:229664

TITLE: Methods for making hardly soluble medicine amorphous

INVENTOR(S): Miyamoto, Misao; Oda, Toshihisa

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Miyamoto, Misao; Oda, Toshihisa

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706781	A1	19970227	WO 1996-JP2246	19960808
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
TW 487582	B	20020521	TW 1996-85109577	19960807
CA 2228907	AA	19970227	CA 1996-2228907	19960808
AU 9666693	A1	19970312	AU 1996-66693	19960808
AU 702088	B2	19990211		
EP 852140	A1	19980708	EP 1996-926600	19960808

EP 852140 B1 20031203  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 CN 1192677 A 19980909 CN 1996-196203 19960808  
 CN 1089232 B 20020821  
 RU 2167649 C2 20010527 RU 1998-103876 19960808  
 EP 1356807 A2 20031029 EP 2003-16608 19960808  
 EP 1356807 A3 20040128  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 AT 255405 E 20031215 AT 1996-926600 19960808  
 US 6462093 B1 20021008 US 1998-11060 19980206  
 NO 9800549 A 19980402 NO 1998-549 19980209  
 PRIORITY APPLN. INFO.: JP 1995-205936 A 19950811  
 JP 1995-310400 A 19951129  
 JP 1995-310401 A 19951129  
 EP 1996-926600 A3 19960808  
 WO 1996-JP2246 W 19960808

AB A process for preparing a solid dispersion of a hardly sol.  
 medicine, comprises heating or mechanochem. treating the hardly  
 sol. medicine, an amorphism-inducing agent, and an amorphism  
 stabilizer. These processes make it possible to make hardly sol  
 . medicines amorphous at a temperature lower than those employed in the  
 conventional methods. The solid dispersions of the amorphous hardly  
 sol. medicines thus obtained have an improved mucosal or rectal  
 absorption rate, which makes it possible to elevate their bioavailability.  
 A blend containing nifedipine (m.p. 175°) 10, succinic acid (m.p.  
 192°) 10, and HPMC-AS 20 g was mixed with 5 g water and subjected  
 to wet granulation and heating to 160° for 1 h. Amorphization of  
 the mixture of nifedipine/succinic acid started at 158°.

L13 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:740260 CAPLUS  
 DOCUMENT NUMBER: 126:9479  
 TITLE: Environmentally friendly nontoxic water-  
 soluble cleaning compositions for release of  
 polymers from surfaces  
 INVENTOR(S): Sakata, Shigenobu  
 PATENT ASSIGNEE(S): Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08239693	A2	19960917	JP 1995-81645	19950302
PRIORITY APPLN. INFO.:			JP 1995-81645	19950302

AB The comps. comprise Na chondroitinsulfate (I), cyclodextrin  
 (II), xanthan gum (III), xylan, xylose, Na pantothenate (IV), Na pyruvate  
 (V), Na erythorbate (VI), 4-isopropyltropone (VII), H<sub>2</sub>O, benzyl alc.  
 (VIII), and iso-PROH and optionally contain monosaccharides,  
 polysaccharides, antioxidants, lactic acids, preservatives, bactericides,  
 secondary alcs., higher alcs., amino alcs., and/or microorganisms. An aqueous  
 solution containing 70% mixture of I ≤25, xylan 0.1-0.5, xylose 0.1-0.5,  
 glucose 0.1-0.5, III 0.1-0.5, II 1-3, VII 0.01-0.05, IV 1-5, V 1-5, VI  
 1-5, 10% VIII, and 20% iso-PROH exhibited good polymer release properties  
 on contacting a polymer coating on a metal surface with the solution for 5-10  
 min at room temperature

L13 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:175664 CAPLUS  
 DOCUMENT NUMBER: 118:175664  
 TITLE: Effect of hydrotropic substances on the complexation  
 of clotrimazole with β- cyclodextrin  
 AUTHOR(S): Pedersen, Morten  
 CORPORATE SOURCE: Dep. Pharm., R. Dan. Sch. Pharm., Copenhagen, DK 2100,  
 Den.  
 SOURCE: Drug Development and Industrial Pharmacy (1993),  
 19(4), 439-48  
 CODEN: DDIPD8; ISSN: 0363-9045  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The phase diagrams of clotrimazole/β- cyclodextrin  
 (β-CD) in phosphate buffer, pH 7.1, containing 0.5M various hydrotropic  
 agents were constructed. The water structure disruptors, urea and



**nicotinamide**, increased the intrinsic **soly.** of the antimycotic drug clotrimazole, while the water structure forming agents, sorbitol and fructose, decreased the **soly.** Concerning the complex constant between clotrimazole and  $\beta$ -CD, it was the other way around. The connection between the slopes of the phase diagrams, the intrinsic **soly.** of clotrimazole and the complex constant was discussed. **Nicotinamide** decreased the **soly.** of  $\beta$ -CD in the buffer solution. The results reported in this study are in disagreement with the claim that addition of water structure forming agents to **cyclodextrin** solns. can be used to increase the total **soly.** of drugs.

L13 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:530982 CAPLUS  
DOCUMENT NUMBER: 115:130982  
TITLE: Separation of water- and fat-soluble vitamins by micellar electrokinetic chromatography  
AUTHOR(S): Ong, C. P.; Ng, C. L.; Lee, H. K.; Li, S. F. Y.  
CORPORATE SOURCE: Dep. Chem., Natl. Univ. Singapore, 0511, Singapore  
SOURCE: Journal of Chromatography (1991), 547(1-2), 419-28  
CODEN: JOCRAM; ISSN: 0021-9673  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A mixture of 7 water- and 2 fat-sol. vitamins was successfully separated simultaneously by micellar electrokinetic capillary chromatog. In addition to SDS, modifiers such as  $\gamma$ -**cyclodextrin**,  $\beta$ -**cyclodextrin**, and iso-PrOH were introduced into the electrophoretic media to investigate their effect on the overall separation of the 9 vitamins. Among these modifiers, the combination of  $\gamma$ -**cyclodextrin** with SDS in the electrophoretic medium provided the best selectivity for separating vitamins.

L13 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:478756 CAPLUS  
DOCUMENT NUMBER: 115:78756  
TITLE: Effect of hydrotropic substances on the complexation of sparingly soluble drugs with **cyclodextrin** derivatives and the influence of **cyclodextrin** complexation on the pharmacokinetics of the drugs  
AUTHOR(S): Mueller, B. W.; Albers, E.  
CORPORATE SOURCE: Dep. Pharm., Christian Albrecht Univ., Kiel, D-2300/1, Germany  
SOURCE: Journal of Pharmaceutical Sciences (1991), 80(6), 599-604  
CODEN: JPMSAE; ISSN: 0022-3549  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The influence of hydrotropic compds. on complex formation by 2-hydroxypropyl  $\beta$ -**cyclodextrin** (HP- $\beta$ -CD) was investigated with methyltestosterone (MeT). Various representatives of the lyotropic series were used for this purpose. Additive hydrotropic effects were observed for **nicotinamide** and urea, which disrupt the water structure, while structure formers such as sorbitol exerted neg. effects. The effects of hydrotropic substances on the phase **soly.** relationships of MeT showed that inclusion complex formation with HP- $\beta$ -CD depends on the degree of ordering of the solvent and is apparently subject to entropy effects. Combined systems comprising HP- $\beta$ -CD and excipients with various underlying **solubilizing** principles were also investigated. Combination of HP- $\beta$ -CD with conventional **solubilizers**, such as 1,2-propylene glycol or sodium deoxycholate, reduced the **solubilization** capacity of HP- $\beta$ -CD. Competitive displacement of the inclusion mol. from its HP- $\beta$ -CD complex by sodium deoxycholate suggested that cholesterol participates in the release mechanism of the inclusion mol. under in vivo conditions. The spontaneous release of complexed drug mols. could indirectly be shown on the basis of the spontaneous action of a complexed dihydropyridine derivative after i.v. administration in rats. The bioavailability of an investigational drug in cynomolgus monkeys could be enhanced sevenfold by inclusion complexation with HP- $\beta$ -CD.

L13 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:435645 CAPLUS  
DOCUMENT NUMBER: 115:35645  
TITLE: Oversaturated solutions of drug in hydroxypropyl **cyclodextrins**: parenteral preparation of pancratistatin  
AUTHOR(S): Torres-Labandeira, Juan J.; Davignon, Paul; Pitha,

CORPORATE SOURCE: Josef  
 SOURCE: Health NIA, Natl. Inst., Baltimore, MD, 21224, USA  
 Journal of Pharmaceutical Sciences (1991), 80(4),  
 384-6  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The effect of 15 cyclodextrin derivs. (polar-electroneutral, cationic, anionic, and lipophilic) and of three 2-hydroxypropyldigitonins on the soly. of pancratistatin (I), an anticancer drug, was evaluated. The direct solubilization into aqueous solns. were invariably low (0.1-1.2 mg/mL compared with 50 µg/mL in water). Complexes of I with hydroxypropyl β- cyclodextrin were more stable (Kapp 153 M-1) than those with hydroxypropyl γ- cyclodextrin (Kapp 108 M-1). Acceptable preps. were made by dissoln. of I in a large excess (50+) of hydroxypropyl cyclodextrin by ammonia and then freeze drying to ammonia-free preps. In these preps., both the inclusion and interdispersion phenomena were operative, and the preps. dissolved rapidly forming clear solns. of I of concns. up to 9 mg/mL. These solns. were oversatd. and while those based on hydroxypropyl β- cyclodextrin precipitated within 1 h, those based on hydroxypropyl γ- cyclodextrin were stable for at least 4 h when kept in a plastic container (i.e., time sufficient for potential use in parenteral preps.).

L13 ANSWER 19 OF 24 CAPLUS. COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:49567 CAPLUS  
 DOCUMENT NUMBER: 114:49567  
 TITLE: Dihydropyridine derivative redox systems for brain-targeted drug delivery  
 INVENTOR(S): Bodor, Nicholas S.  
 PATENT ASSIGNEE(S): University of Florida, USA  
 SOURCE: Eur. Pat. Appl., 120 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 327766	A2	19890816	EP 1988-312016	19881219
EP 327766	A3	19900926		
EP 327766	B1	19980408		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5002935	A	19910326	US 1987-139755	19871230
CA 1331564	A1	19940823	CA 1988-585791	19881213
AT 164855	E	19980415	AT 1988-312016	19881219
ES 2118707	T3	19981001	ES 1988-312016	19881219
AU 8827339	A1	19890706	AU 1988-27339	19881221
AU 619788	B2	19920206		
ZA 8809679	A	19900829	ZA 1988-9679	19881228
JP 01294663	A2	19891128	JP 1989-37	19890104
JP 3038715	B2	20000508		
EP 335545	A2	19891004	EP 1989-302719	19890320
EP 335545	A3	19900926		
EP 335545	B1	19930609		
EP 335545	B2	19980923		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 90200	E	19930615	AT 1989-302719	19890320
ES 2058503	T3	19941101	ES 1989-302719	19890320
AU 8931762	A1	19890727	AU 1989-31762	19890328
AU 618995	B2	19920116		
US 5017566	A	19910521	US 1989-431222	19891103
US 5024998	A	19910618	US 1989-448655	19891211
PRIORITY APPLN. INFO.:				
			US 1987-139755	A 19871230
			US 1988-174945	A 19880329
			CA 1988-585791	A 19881213
			IE 1988-3717	A 19881213
			EP 1988-312016	A 19881219
			IE 1989-810	A 19890314
			EP 1989-302719	A 19890320
			US 1989-431222	A2 19891103

AB Inclusion complexes of hydroxypropyl, hydroxyethyl, glucosyl, maltosyl or maltotriosyl derivs. of β- or γ- cyclodextrin with the reduced, biooxidizable, blood-brain barrier penetrating, lipoidal forms of dihydropyridine pyridinium salt redox systems for brain-targeted drug delivery provide a means for stabilizing the redox systems,

particularly against oxidation. The redox inclusion complexes also provide a means for decreasing initial drug concns. in the lungs after administration of the systems, leading to decreased toxicity. In selected instances, complexation results in substantially improved water soly. of the redox systems as well. The dihydropyridine lipidal forms are e.g. 1-methyl-3-[[N-β-[3,4-bis(pivalyloxy)phenyl]ethylcarbamamoyl}}-1,4-dihydropyridine and 3-hydroxy-17β-[(methyl-1,4-dihydropyridin-3-yl)carbonyl]oxyetra-1,3,5(10)-triene (E2-CDS). Thus, the soly. of E2-CDS-2-hydroxypropyl β - cyclodextrin complexes was .apprx.30 mg/mL vs. 0.0002 mg/mL for E2-CDS. In Sprague-Dawley rats, the lung level of an quaternary ammonium salt after i.v. administration of the complex was lower than that after i.v. administration of E2CDS.

L13 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:12071 CAPLUS

DOCUMENT NUMBER: 114:12071

TITLE: Molecular behavior and dissolution characteristics of uracil in ground mixtures

AUTHOR(S): Baba, Kazuhiko; Takeichi, Yohichiro; Nakai, Yoshinobu

CORPORATE SOURCE: Pharm. Res. Lab., Taiho Pharm. Co., Ltd., Tokushima, 771-01, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(9), 2542-6

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ground mixts. containing uracil were prepared by using various additives such as celluloses, proteins, cyclodextrins, enteric-coating agents and inorg. compds. in a planetary ball mill. The amorphous state of uracil was observed in the x-ray diffraction patterns of some of the ground mixts. The results of IR anal. indicated deprotonation of uracil after 30 h grinding with Na polyglutamate. All ground mixts. showed the transient supersatn. of uracil in dissoln. studies. The initial amount of uracil dissolved from the 30-h ground mixts. with Na benzoate derivs., Et cellulose, hydroxypropyl Me cellulose acetate succinate and proteins was 2.5-9-fold that dissolved from intact uracil. The crystallinity and soly. of uracil in the ground mixts. were affected by the mixing ratio, grinding time and moisture content of the additive.

L13 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:446267 CAPLUS

DOCUMENT NUMBER: 113:46267

TITLE: Pharmaceutical formulations for parenteral use containing cyclodextrins and dihydropyridine redox systems

INVENTOR(S): Bodor, Nicholas S.

PATENT ASSIGNEE(S): University of Florida, USA

SOURCE: Eur. Pat. Appl., 125 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 335545	A2	19891004	EP 1989-302719	19890320
EP 335545	A3	19900926		
EP 335545	B1	19930609		
EP 335545	B2	19980923		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4983586	A	19910108	US 1988-174945	19880329
EP 327766	A2	19890816	EP 1988-312016	19881219
EP 327766	A3	19900926		
EP 327766	B1	19980408		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 90200	E	19930615	AT 1989-302719	19890320
AU 8931762	A1	19890727	AU 1989-31762	19890328
AU 618995	B2	19920116		
CA 1336498	A1	19950801	CA 1989-594911	19890328
JP 02009825	A2	19900112	JP 1989-77938	19890329
JP 2643426	B2	19970820		
ZA 8902315	A	19901228	ZA 1989-2315	19890329
US 5017566	A	19910521	US 1989-431222	19891103
US 5024998	A	19910618	US 1989-448655	19891211
PRIORITY APPLN. INFO.:			US 1988-174945	A 19880329
			EP 1988-312016	A 19881219

10/033,835

US 1987-139755 A2 19871230  
CA 1988-585791 A 19881213  
IE 1988-3717 A 19881213  
IE 1989-810 A 19890314  
EP 1989-302719 A 19890320  
US 1989-431222 A2 19891103

AB Aqueous parenteral solns. of drugs which are insol. or only sparingly sol. and/or which are unstable in water, are combined with a **cyclodextrin** derivative to provide a means for alleviating problems associated with drug precipitation at the injection site and/or in the lungs or other organs following parenteral administration. Another approach is use of the dihydropyridine-pyridinium redox delivery system. A large number of examples are given for synthesis of dihydropyridine and pyridinium derivs. of drugs. Data are also presented showing drug **solubilization** by **cyclodextrin** derivs.

L13 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:42623 CAPLUS  
Correction of: 1989:101799  
DOCUMENT NUMBER: 112:42623  
Correction of: 110:101799

TITLE: Pharmaceuticals containing fat-soluble vitamins and methylated **cyclodextrin** to improve **solubility**

INVENTOR(S): Furukawa, Mikio; Hara, Kenji  
PATENT ASSIGNEE(S): Kao Corp., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63083021	A2	19880413	JP 1986-227712	19860926
PRIORITY APPLN. INFO.:			JP 1986-227712	19860926

OTHER SOURCE(S): MARPAT 112:42623

AB An oral pharmaceutical contains fat-sol. vitamins and methylated **cyclodextrin I** (A = H, Me; n = 6-9). A mixture of methylated  $\beta$ - **cyclodextrin** and vitamin A in H<sub>2</sub>O was stirred until complete dissoln. occurred. The resulting compound was used in vitamin formulation. An oral liquid contained vitamin B1 nitrate 5, vitamin B2 phosphate 5, vitamin B5 5, **nicotinamide** 20, inositol 50, caffeine 50, vitamin A-I inclusion compound 1, vitamin E-I inclusion compound 10, and vitamin D-I inclusion compound 0.5 mg in 100 mL H<sub>2</sub>O.

L13 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:101799 CAPLUS  
DOCUMENT NUMBER: 110:101799  
TITLE: Pharmaceuticals containing fat-soluble vitamins and methylated **cyclodextrin** to improve **solubility**

INVENTOR(S): Furukawa, Mikio; Hara, Kenji  
PATENT ASSIGNEE(S): Kao Corp., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63083021 A2		19880413	JP 1986-227712	19860926
OTHER SOURCE(S):				

AB An oral pharmaceutical contains fat-sol. vitamins and methylated **cyclodextrin I** (A = H, Me; n = 6-9). A mixture of methylated  $\beta$ - **cyclodextrin** and vitamin A in H<sub>2</sub>O was stirred until complete dissoln. occurred. The resulting compound was used in vitamin formulation. An oral liquid contained vitamin B1 nitrate 5, vitamin B2 phosphate 5, vitamin B5 5, **nicotinamide** 20, inositol 50, caffeine 50, vitamin A-I inclusion compound 1, vitamin E-I inclusion compound 10, and vitamin D-I inclusion compound 0.5 mg in 100 mL H<sub>2</sub>O.

L13 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:11238 CAPLUS

DOCUMENT NUMBER: 108:11238

TITLE: Aqueous liquid preparation containing

aminobenzopyranopyridinecarboxylic acids for nose and eye drops.  
 INVENTOR(S): Shimizu, Hisayoshi; Oshima, Mitsuaki; Terayama, Hideo  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan; Senju  
 Pharmaceutical Co., Ltd.  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 213514	A2	19870311	EP 1986-111306	19860815
EP 213514	A3	19870722		
EP 213514	B1	19900620		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4728509	A	19880301	US 1986-893161	19860805
DK 8603799	A	19870220	DK 1986-3799	19860808
DK 166757	B1	19930712		
NO 8603253	A	19870220	NO 1986-3253	19860812
NO 171005	B	19921005		
NO 171005	C	19930113		
AT 53944	E	19900715	AT 1986-111306	19860815
JP 62123116	A2	19870604	JP 1986-193834	19860818
JP 04078614	B4	19921211		
CA 1269618	A1	19900529	CA 1986-516160	19860818
PRIORITY APPLN. INFO.:			JP 1985-182383	19850819
			EP 1986-111306	19860815

AB Benzopyranopyridines I (R = C1-6 alkyl) are solubilized by polyvinylpyrrolidone, cyclodextrin, or caffeine in aqueous solution. As I have a strong antiallergic and antiinflammatory action, they are useful as eye or nose drops, or as drugs for oral application. I (R = CHMe2) (II) is especially solubilized by the addition of caffeine,  $\beta$ -cyclodextrin, or polyvinylpyrrolidone to its aqueous phosphate buffer solns. These compds. also improved the storage stability of II solns. at 60°. Eye drops were prepared containing II 2.5, boric acid 16, borax 7, polyvinylpyrrolidone 20, 4-HOC6H4CO2Me 0.26, 4-HOC6H4CO2Pr 0.14 g, and water to 1 L. The eyedrops were more stable and less irritating than a control which omitted polyvinylpyrrolidone.